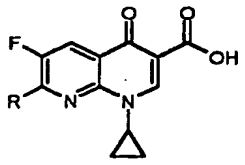
Claims

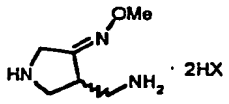
1. A process for preparing acid salts of Gemifloxacin represented by formula 1, which comprises the steps of

a) adding a compound of formula 5 to naphthyridine carboxylic acid of formula 2 and 3-aminomethyl-4-methoxyiminopyrrolidine salt of formula 3 in water, an organic solvent or a mixed solvent thereof in the presence of an organic base to carry out a coupling reaction, and

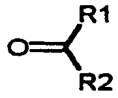
b) adding an acid of formula HA to the resulting compound of formula 4 in water, an organic solvent or a mixed solvent thereof to carry out deprotection and salt formation reactions at the same time:



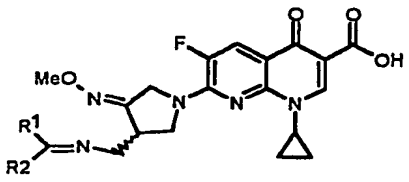
2



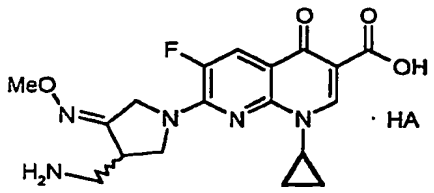
3



5



4



1

wherein,

R represents Cl, F, Br, I, methanesulfonyl or paratoluenesulfonyl,

Me represents methyl,

HX represents hydrochloric acid, hydrobromic acid, hydroiodic acid, trifluoroacetic acid, methanesulfonic acid, paratolunesulfonic acid, or sulfuric acid,

R1 and R2 independently of each other represent hydrogen, a straight or branched, saturated or unsaturated $C_1 \sim C_6$ alkyl group, a saturated or unsaturated $C_3 \sim C_6$ cycloalkyl group, or an aromatic group which is unsubstituted or substituted by $C_1 \sim C_6$ alkyl, $C_1 \sim C_6$ alkoxy, hydroxy, cyano or halogen, or

R1 and R2 together with a carbonyl group to which they are bonded form a ring, and

HA is an organic acid or an inorganic acid.

2. The process of claim 1, wherein step a), step b) or both steps a) and b) are carried out in a mixed solvent of an organic solvent with water.

3. The process of claim 1, wherein the compound of formula 5 is selected from the group consisting of benzaldehyde, 2-chlorobenzaldehyde, 2-hydroxybenzaldehyde, 4-methoxybenzaldehyde and 2-methylbenzaldehyde.

4. The process of claim 2, wherein the organic solvent of step a) is acetonitrile, and that of step b) is isopropanol or tetrahydrofuran (THF).

5. The process of claim 1, wherein the organic base is selected from the goup consisting of triethylamine, trimethylamine, diisopropylethylamine, 1,8-diazabicyclo

[5.4.0]undec-7-ene, and 1,5-diazabicyclo[4.3.0]non-5-one.

6. The process of claim 1, wherein the compound of formula 5 is used in an amount of 1 to 3 times to that of the compound of formula 2.

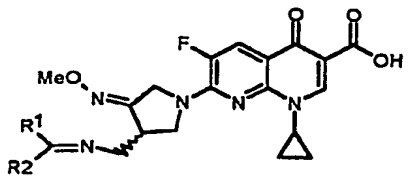
7. The process of claim 1, wherein the organic base of step a) is used in an amount of 3 to 4 times to that of the compound of formula 2, and the reaction is carried out at a reaction temperature of 0 to 30℃.

8. The process of claim 7, wherein the organic base is triethylamine.

9. The process of claim 1, wherein the acid of formula HA is used in an amount of 80mol% to 120mol% relative to the compound of formula 4, the temperature on adding the acid is in the range of 40～50℃, and the temperature after adding the acid is in the range of 0～20℃.

10. The process of any one of claims 1-9, wherein the acid of formula HA is methanesulfonic acid .

11. An intermediate, represented by the following formula 4, for preparing acid salts of Gemifloxacin according to claim 1:



4

wherein,

Me, R1 and R2 are as defined in claim 1.